Welcome to STN International! Enter x:x LOGINID:ssspta1617srh PASSWORD: LOGINID/PASSWORD REJECTED The loginid and/or password sent to STN were invalid. You either typed them incorrectly, or line noise may have corrupted them. Do you wish to retry the logon? Enter choice (y/N): Do you wish to use the same loginid and password? Enter choice (y/N): Enter new loginid (or press [Enter] for ssspta1617srh): Enter new password: LOGINID: LOGINID:ssspta1617srh PASSWORD: TERMINAL (ENTER 1, 2, 3, OR ?):2 Welcome to STN International Web Page URLs for STN Seminar Schedule - N. America NEWS NEWS "Ask CAS" for self-help around the clock NEWS SEP 09 CA/CAplus records now contain indexing from 1907 to the present NEWS Jul 15 Data from 1960-1976 added to RDISCLOSURE Identification of STN records implemented NEWS Jul 21 NEWS Jul 21 Polymer class term count added to REGISTRY NEWS Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available NEWS AUG 05 8 New pricing for EUROPATFULL and PCTFULL effective August 1, 2003 NEWS 9 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN NEWS 10 AUG 15 PATDPAFULL: one FREE connect hour, per account, in September 2003 AUG 15 NEWS 11 PCTGEN: one FREE connect hour, per account, in September 2003 NEWS 12 AUG 15 RDISCLOSURE: one FREE connect hour, per account, in September 2003 NEWS 13 AUG 15 TEMA: one FREE connect hour, per account, in September 2003 **AUG 18** NEWS 14 Data available for download as a PDF in RDISCLOSURE NEWS 15 **AUG 18** Simultaneous left and right truncation added to PASCAL NEWS 16 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation NEWS 17 AUG 18 Simultaneous left and right truncation added to ANABSTR NEWS 18 SEP 22 DIPPR file reloaded NEWS 19 SEP 25 INPADOC: Legal Status data to be reloaded NEWS 20 SEP 29 DISSABS now available on STN

NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
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NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 10:48:48 ON 10 OCT 2003

=> fil reg COST IN U.S. DOLLARS

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 10:48:56 ON 10 OCT 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 OCT 2003 HIGHEST RN 601453-92-3 DICTIONARY FILE UPDATES: 8 OCT 2003 HIGHEST RN 601453-92-3

TSCA- INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading histamin h3-m2 antagonist generic.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STI

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 SAMPLE SEARCH INITIATED 10:52:01 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 17 TO ITERATE SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 93 TO 587
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:52:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 367 TO ITERATE

100.0% PROCESSED 367 ITERATIONS 3 ANSWERS

SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> d tot

L3 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2003 ACS on STN

RN 459783-32-5 REGISTRY

CN Methanone, [4-(1,3-benzodioxol-5-ylsulfonyl)phenyl] [1'-[(4-butoxyphenyl)methyl] [1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C35 H42 N2 O6 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2003 ACS on STN

RN 459783-31-4 REGISTRY

CN Methanone, [4-(1,3-benzodioxol-5-ylsulfonyl)phenyl][1'-[[4-[2-(diethylamino)ethoxy]phenyl]methyl][1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C37 H47 N3 O6 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PAGE 1-A

$$\mathsf{Et}_2\mathsf{N}-\mathsf{CH}_2-\mathsf{CH}_2-\mathsf{O}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2003 ACS on STN

RN 459783-30-3 REGISTRY

CN Methanone, [4-(1,3-benzodioxol-5-ylsulfonyl)phenyl][1'-[[4-[3-(dimethylamino)propoxy]phenyl]methyl][1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C36 H45 N3 O6 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PAGE 1-A

$$Me_2N-(CH_2)_3-O$$
 CH_2-N
 N
 CH_2-N

PAGE 1-B

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> sel rn 13

E1 THROUGH E3 ASSIGNED

=> fil capl

COST IN U.S. DOLLARS

SINCE FILĖ

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.43

155.64

FILE 'CAPLUS' ENTERED AT 10:52:38 ON 10 OCT 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 10 Oct 2003 VOL 139 ISS 16 FILE LAST UPDATED: 9 Oct 2003 (20031009/ED)

FILE 'MARPAT' ENTERED AT 10:52:54 ON 10 OCT 2003

This file contains CAS Registry Numbers for easy and accurate substance identification.

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                1 459783-31-4/BI
                1 459783-32-5/BI
L4
                1 (459783-30-3/BI OR 459783-31-4/BI OR 459783-32-5/BI)
=> d
T.4
      ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
      2002:716084 CAPLUS
AN
      137:226627
DN
      Use of dual H3/M2 antagonists in the treatment of cognition deficit
TI
      Hey, John A.; Aslanian, Robert G.
PΑ
      Schering Corporation, USA
      PCT Int. Appl., 38 pp.
SO
      CODEN: PIXXD2
DT
      Patent
T.A
      English
FAN.CNT 1
      PATENT NO.
                        KIND DATE
                                                  APPLICATION NO. DATE
      -----
                                                   -----
PΙ
      WO 2002072093
                         A2 20020919
                                                  WO 2002-US3975
                                                                        20020206
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
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      US 2002151565
                          A1 20021017
                                                  US 2002-72340
                                                                       20020206
PRAI US 2001-267352P
                          P
                                 20010208
=> fil marpat
COST IN U.S. DOLLARS
                                                           SINCE FILE
                                                                              TOTAL
                                                                 ENTRY
                                                                            SESSION
FULL ESTIMATED COST
                                                                  6.59
                                                                             162.23
```

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BR 2000010593 20020213 BR 2000-10593 20000501 Α JP 2002543144 T2 20021217 JP 2000-615025 NZ 514519 Α 20030725 NZ 2000-514519 20000501 NO 2001-5367 NO 2001005367 Δ 20020103 20011102

PRAI US 1999-304897 19990504 WO 2000-US11634 20000501

=> fil stng

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 105.51 267.74

FILE 'STNGUIDE' ENTERED AT 10:53:43 ON 10 OCT 2003
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 3, 2003 (20031003/UP).

=> fil medl capl biosis uspatf

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.48 268.22

FILE 'MEDLINE' ENTERED AT 10:58:43 ON 10 OCT 2003

FILE 'CAPLUS' ENTERED AT 10:58:43 ON 10 OCT 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'BIOSIS' ENTERED AT 10:58:43 ON 10 OCT 2003 COPYRIGHT (C) 2003 BIOLOGICAL ABSTRACTS INC.(R)

FILE 'USPATFULL' ENTERED AT 10:58:43 ON 10 OCT 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> s histamine

L7 181692 HISTAMINE

=> s H3 antagonist?

L8 620 H3 ANTAGONIST?

=> s cognition deficit or alzheimer

L9 131962 COGNITION DEFICIT OR ALZHEIMER

=> s 18 and 19

L10 24 L8 AND L9

=> dup rem 110

PROCESSING COMPLETED FOR L10

L11 24 DUP REM L10 (0 DUPLICATES REMOVED)

=> d ibib abs 20-24

L11 ANSWER 20 OF 24 USPATFULL on STN

ACCESSION NUMBER: 2000:142126 USPATFULL

TITLE: DNA encoding as human histamine receptor of the H3

subtype

INVENTOR(S): Lovenberg, Timothy W., San Diego, CA, United States

Erlander, Mark, Encinitas, CA, United States

Huvar, Arne, Santee, CA, United States

Pyati, Jayashree, San Diego, CA, United States

PATENT ASSIGNEE(S): Ortho Pharmaceutical Corporation, Raritan, NJ, United

States (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 6136559 20001024

APPLICATION INFO.: US 1998-167354 19981007 (9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kunz, Gary L.
ASSISTANT EXAMINER: Hamud, Fozia

LEGAL REPRESENTATIVE: Wallen, III, John W.

NUMBER OF CLAIMS: 4 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 8 Drawing Figure(s); 9 Drawing Page(s)

LINE COUNT: 1402

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DNAs encoding the human histamine H3 receptor have been cloned and characterized. The recombinant protein is capable of forming biologically active histamine H3 receptor protein. The cDNA's have been expressed in recombinant host cells which produce active recombinant protein. The recombinant protein is also purified from the recombinant host cells. In addition, the recombinant host cells are utilized to establish a method for identifying modulators of the receptor activity, and receptor modulators are identified.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L11 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:411071 CAPLUS

DOCUMENT NUMBER:

127:90515

TITLE:

4-[4'-piperidinyl or 3'-pyrrolidinyl] substituted imidazoles as H3-receptor antagonists, their

preparation, and their use in treating cognitive

disorders or attention or arousal deficits

INVENTOR(S):

Durant, Graham J.; Khan, Amin M. The University of Toledo, USA

PATENT ASSIGNEE(S): SOURCE:

U.S., 20 pp., Cont.-in-part of U.S. Ser. No. 862,657,

19930331

abandoned.
CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND				ND.	DATE			APPLICATION NO.				ο.	DATE				
															-		
US 5	6397	775		Α		1997	0617		US	3 19:	94-3	1328	2	1994	0930		
WO 9	3200	061		A:	1	1993	1014		W) 19:	93 - U	S3104	4	1993	0331		
	W :	AU,	BB,	BG,	BR,	CA,	CZ,	FI,	HU,	JP,	KR,	KZ,	LK,	MG,	MN,	MW,	NO,
						SD,											
	RW:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	ΝE,	SN,	TD,	TG		
PRIORITY	PRIORITY APPLN. INFO.:							US 1992-862657					19920401				

WO 1993-US3104

OTHER SOURCE(S): MARPAT 127:90515

AB Piperidinyl or pyrrolidinyl substitut

AB Piperidinyl or pyrrolidinyl substituted imidazoles and salts thereof, are disclosed which have activity as histamine H3-receptor antagonists. Also disclosed are pharmaceutical compns. and methods of using such compds. for treating cognitive disorder or attention or arousal deficit. Prepn. of compds., e.g. 4-(1-cyclohexylvaleroyl-4-piperidyl)-1H-imidazole, is described.

L11 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:65988 CAPLUS

DOCUMENT NUMBER: 126:99230

TITLE: Effects of anticholinesterase drugs tacrine and E2020,

the 5-HT3 antagonist ondansetron, and the H3

antagonist thioperamide, in models of
cognition and cholinergic function

AUTHOR(S): Kirkby, D. L.; Jones, D. N. C.; Barnes, J. C.;

Higgins, G. A.

CORPORATE SOURCE: Division Biosciences, University Hertfordshire,

Hatfield/Herts, AL10 9AB, UK

SOURCE: Behavioural Pharmacology (1996), 7(6), 513-525

CODEN: BPHAEL; ISSN: 0955-8810

PUBLISHER: Rapid Science Publishers

DOCUMENT TYPE: Journal LANGUAGE: English

This study presents a comparison between two inhibitors of acetylcholinesterase, tacrine and E2020 (Donepezil), the 5-HT3 receptor antagonist ondansetron, and the H3 receptor antagonist thioperamide, in models of cholinergic function and cognition in male, Lister hooded rats. The cognitive tests used were an operant VI20 task, the delayed match to position task (short-term memory) and the 5-choice serial reaction time task (attention). Scopolamine (SCOP) (0.075 mg/kg s.c.) was utilized in both the short-term memory and attention tasks to impair performance. Both tacrine (1-30 mg/kg) and E2020 (1-10 mg/kg) similarly produced overt cholinomimetic signs of likely central origin (hypothermia, tremor), although tacrine produced more profound peripheral cholinomimetic signs (miosis, secretory signs) than E2020. Tacrine (30 mg/kg) and E2020 (10 mg/kg) reduced the no. of reinforcements gained in the VI20 schedule. Similarly, both drugs attenuated the SCOP-impairment models in the short-term memory and attention tasks (1-3 mg/kg). Ondansetron (10 ng/kg-1 mg/kg) and thioperamide (0.2-10 mg/kg) failed to elicit overt cholinomimetic signs or influence the no. of food reinforcements gained in the VI20 schedule. Neither ondansetron nor thioperamide attenuated the SCOP-induced impairment in either cognitive task. From the present studies, both E2020 and tacrine showed a similar behavioral profile in the models used, although E2020 was about three times more potent. Furthermore, E2020 but not tacrine appeared to show some discrimination in eliciting central and peripheral cholinomimetic sings. The failure of ondansetron and thioperamide to reverse a SCOP-induced deficit in these models is discussed.

L11 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:700891 CAPLUS

DOCUMENT NUMBER: 121:300891

TITLE: Preparation of imidazole derivatives as histamine

H3 antagonists

INVENTOR(S): Yanai, Kazuhiko; Watanabe, Takehiko; Gotoh, Tomokazu;

Sakashita, Hiroshi; Murakami, Kazuki; Sugiura,

Masanori; Fukaya, Chikara

PATENT ASSIGNEE(S): Japan

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

W: CA, KR, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE JP 06271567 A2 19940927 JP 1993-308553 19931116

A2 19940927 JP 1993-308552 19931116 JP 06271566 A1 19951108 EP 1994-903008 19931215 EP 680960 R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE JP 1993-27145 19930125 PRIORITY APPLN. INFO.: JP 1993-27146 19930125 WO 1993-JP1822 19931215

OTHER SOURCE(S): MARPAT 121:300891 For diagram(s), see printed CA Issue.

The invention aims at providing novel compds. having histamine H3 receptor antagonism and relates to compds. represented by general formula (I; m = 4-6; R1 = H, lower alkyl or aralkyl; R2, R3 = H, lower alkyl; R4 H, linear or branched alkyl, cycloalkyl, cycloalkylalkyl, optionally substituted aryl or aralkyl; Z = R5 or AR6; A = S or O; R5 = H, lower alkyl, optionally substituted aryl or aralkyl; R6 = lower alkyl, alkenyl, or alkynyl, or optionally substituted aralkyl), useful as neuroleptics, anticonvulsants, analgesics, for regulation of sleep, eating, body temp., and internal endocritic secretion, as therapeutics for reactivation of brain metab. in the treatment of Alzheimer's diseases, and also as labels for imaging histamine H3 receptor by using positron emission tomog. Thus, .apprx.1 g Raney Ni was added to a soln. of 200 mg thioperamide in EtOH, and stirred for 1 h under ice-cooling. The supernatant liq. was decanted and evapd. under reduced pressure to give a white powder which was dissolved in EtOH followed by adding 5.6 N HCl in EtOH under ice-cooling, stirring the resulting mixt. for 30 min under ice-cooling, and evapg. the solvent in vacuo to give title compd. (II.2HCl). In binding assay using rat cerebral cortex membrane and [3H] (R) -. alpha. -methylhistamine, I showed Ki (dissocn. const. for

L11 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2003 ACS on STN

histamine H3 receptor) of 5-200 nM.

ACCESSION NUMBER:

1994:107018 CAPLUS

DOCUMENT NUMBER:

120:107018

TITLE:

Preparation of acylpiperidinylimidazoles and related

compounds as histamine H3

antagonists.

INVENTOR(S):

Durant, Graham J.; Khan, Amin M.

PATENT ASSIGNEE(S): SOURCE:

University of Toledo, USA

PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                  KIND DATE
                                     APPLICATION NO. DATE
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                                     WO 1993-US3104 19930331
    WO 9320061
                   A1 19931014
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        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
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                                  AU 1993-39445
    AU 9339445
                         19931108
                   A1
                                                     19930331
    EP 633882
                         19950118
                                     EP 1993-908724
                    A1
                                                     19930331
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    JP 07509219 T2
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    US 5633382
                   Α
                                      US 1994-259926
                         19970527
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                         19970617
                                                     19940930
    NO 9403687
                  A 19941121
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                                                     19941003
                   Α
    FI 9404605
                                      FI 1994-4605
                         19941130
                                                     19941003
PRIORITY APPLN. INFO.:
                                   US 1992-862657
                                                     19920401
                                   WO 1993-US3104
                                                     19930331
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OTHER SOURCE(S):

MARPAT 120:107018

$$\begin{array}{c|c}
 & Z \\
 & N \\
 & O_X \text{ (CH2) } nR^2
\end{array}$$

$$\begin{array}{c|c}
 & I \\
 & N \\
 & N$$

AB Title compds. [I; R1 = H, in vivo hydrolyzeable group, alkyl, cycloalkyl, aryl; D = CH2, CH2CH2; Z = S, O; x = 0, 1; n = 0-6; R2 = (substituted) alkyl, carbocyclyl, aryl; with provisos], were prepd. Thus, 4-(4-piperidyl)-1H-imidazole and cyclohexanevaleroyl chloride were heated with dicyclohexylamine in MeCN/CH2Cl2 to give title compd. II. II bound to histamine H3 receptors in rat brain membrane prepns. with IC50 = 4.0 nM. I are claimed for treating narcolepsy, coma, Alzheimer's disease, arousal deficit, and attention deficit.

=> s 112 and 19

L13 545 L12 AND L9

=> m2 antagonist

M2 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> s m2 antagonist?

L14 623 M2 ANTAGONIST?

=> s 114 and 19

L15 85 L14 AND L9

=> dup rem 115

PROCESSING COMPLETED FOR L15

L16 69 DUP REM L15 (16 DUPLICATES REMOVED)

=> d ibib abs 65-69

L16 ANSWER 65 OF 69 USPATFULL on STN

ACCESSION NUMBER: 92:29697 USPATFULL

TITLE: Huperzine a analogs as acetylcholinesterase inhibitors

INVENTOR(S): Kozikowski, Alan P., Ponte Vedre Beach, FL, United

States

PATENT ASSIGNEE(S): Mayo Foundation for Medical Education and Research,

Rochester, MN, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5104880 US 1991-694121		19920414 19910501	(7)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted

PRIMARY EXAMINER: Shen, Cecilia

LEGAL REPRESENTATIVE: Merchant, Gould, Smith, Edell, Welter & Schmidt

NUMBER OF CLAIMS: 19 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT: 816

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An acetylcholinesterase inhibitor is provided of the general formula (I): ##STR1## wherein R.sub.1 is H, (C.sub.1 -C.sub.8)alkyl or halo; R.sub.2 is H or (C.sub.1 -C.sub.8)alkyl; R.sub.3 and R.sub.4 are individually H, (C.sub.1 -C.sub.8)alkyl, NO.sub.2, hydroxy or halo; R.sub.5 and R.sub.6 are individually H, (C.sub.1 -C.sub.8)akyl, aryl or aralkyl; R.sub.7 is H, halo or (C.sub.1 -C.sub.8)alkyl, R.sub.8 is halo or (C.sub.1 -C.sub.8)alkyl; R.sub.9 is absent or is H; and the bonds represented by--are individually absent or, together with the adjacent bond, form the unit C.dbd.C, with the proviso that if both of the bonds represented by--are present, R.sub.3 and R.sub.4 cannot both be H unless R.sub.7 or R.sub.8 is halo; and the pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L16 ANSWER 66 OF 69 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:51648 CAPLUS

DOCUMENT NUMBER: 118:51648

TITLE: Tricyclic compounds as selective muscarinic

antagonists: structure activity relationships and

therapeutic implications

AUTHOR(S): Eberlein, W. G.; Engel, W.; Hasselbach, K. M.; Mayer,

N.; Mihm, G.; Rudolf, K.; Doods, H.

CORPORATE SOURCE: Dep. Pharma Res., Dr. Karl Thomae GmbH, Biberach/Riss,

Germany

SOURCE: Pharmacochemistry Library (1992), 18 (Trends Recept.

Res.), 231-49

CODEN: PHLIDQ; ISSN: 0165-7208

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English A review with 34 refs. Pirenzepine, the first M1 selective receptor blocker, exhibits the following selectivity profile: M1 > M4 > M3 > M2. The discovery of this compd., which is currently used in ulcer therapy, gave the impetus for a research project directed towards the development of selective muscarinic antagonists. The availability of muscarinic antagonists with different subtype selectivity offers opportunities for novel therapies. The target profile M1 .gtoreq. M3 .mchgt. M2 has been hypothesized to be suited for the treatment of chronic obstructive airway diseases. The authors were successful in synthesizing compds. displaying hte desired selectivity profile. Compd. AQ-RA 721 has been selected for detailed pharmacol. investigations. Compds. with high affinity to cardiac muscarinic receptors might be useful for the treatment of diseases assocd. with bradycardic disorders. The first compd. of this type, AF-DX 116, has the following selectivity profile: M2 > M4 > M1 > M3. Among the follow-up compds. the most attractive M2 antagonist is compd. AQ-RA 741 which exhibits a tenfold higher activity and improved

AQ-RA 741 which exhibits a tenfold higher activity and improved selectivity as compared to AF-DX 116. Exptl. support has accumulated in recent years that selective muscarinic antagonists might exhibit interesting effects on certain functions of the CNS thus leading to new strategies of treating certain symptoms of Alzheimer's disease. Correlation of biol. data with the results of rigorous conformational analyses led to the identification of biol. active conformations corresponding to the selectivity profiles mentioned above.

L16 ANSWER 67 OF 69 USPATFULL on STN ACCESSION NUMBER: 91:79964 USPATFULL

Spiro nitrogen-bridged heterocyclic compounds TITLE:

INVENTOR(S): Fisher, Abraham, Holon, Israel

Karton, Ishai, Nes Ziona, Israel

PATENT ASSIGNEE(S): Israel Institute for Biological Research, Ness Ziona,

Israel (non-U.S. corporation)

KIND NUMBER ______

US 5053412 19911001 US 1990-507228 19900410 (7) PATENT INFORMATION: APPLICATION INFO.:

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted
PRIMARY EXAMINER: Bond, Robert T. LEGAL REPRESENTATIVE: Darby & Darby

NUMBER OF CLAIMS: 52 EXEMPLARY CLAIM: 2,24 LINE COUNT: 1392

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to novel compounds (I) for treating diseases of the central and peripheral nervous system: ##STR1## including enantiomers, racemates and acid addition and quaternary salts thereof, wherein one of X and Y is O and the other of X and Y is N; Q is (CH.sub.2).sub.n or C(CH.sub.3).sub.2 where n is 1, 2 or 3 and the bridge --Q-- is attached at one end to position 1 and at the other end to position 4 or 5, and R.degree. is hydrogen, methyl or hydroxyl; in the moiety ##STR2## the line connecting Z and Y signifies a double bond when X--Z is O--C--R and Y is N, and a single bond when X--Z is N.dbd.C--R and Y is O; Z is C--R wherein R is selected from hydrogen, NH.sub.2, NH-R" (R"=C.sub.1-6 -alkyl), N(R").sub.2, R", C.sub.2-6 -alkenyl, C.sub.2-6 -alkynyl, C.sub.3-7 -cycloalkyl, R" substituted by hydroxy or by 1-6 halogen atoms, R"O-C.sub.1-6 -alkyl, carboxy-C.sub.1-6 -alkyl, R"OCO-C.sub.1-6 -alkyl, amino-C.sub.1-6 -alkyl, R""NH-C.sub.1-6 -alkyl, (R").sub.2 N-C.sub.1-6 -alkyl, 2-oxo-pyrrolidin-1-ylmethyl, aryl, diarylmethylol, and R" substituted by one or two aryl groups, wherein aryl denotes phenyl optionally substituted by 1-3 halogens, R", R"O and(or) CF.sub.3. Also claimed are compounds wherein the line connecting Z and Y signifies the absence of a bond, X is O, Z is H and Y is NH.sub.2, NO.sub.2 or N.sub.3.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L16 ANSWER 68 OF 69 USPATFULL on STN

ACCESSION NUMBER: 89:65083 USPATFULL

TITLE: Derivatives of quinuclidine

INVENTOR(S): Fisher, Abraham, Holon, Israel Karton, Ishai, Ness-Ziona, Israel

Heldman, Eliahu, Rehovot, Israel

Levy, Aharon, Moshav Beith Hanan, Israel

Grunfeld, Yona, Rehovot, Israel

State of Israel, represented by Prime Minister's PATENT ASSIGNEE(S):

Office, Israel Institute for Biological Research,

Ness-Ziona, Israel (non-U.S. government)

NUMBER KIND DATE -----

US 4855290 19890808 US 1986-853404 19860418 (6) PATENT INFORMATION: US 1986-853404 APPLICATION INFO.:

> NUMBER DATE _______

PRIORITY INFORMATION:

IL 1985-75166 19850510 IL 1986-77568 19860110

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Bond, Robert T. LEGAL REPRESENTATIVE: Cushman, Darby & Cushman

NUMBER OF CLAIMS: 67 EXEMPLARY CLAIM: 1,32

NUMBER OF DRAWINGS: 19 Drawing Figure(s); 19 Drawing Page(s)

LINE COUNT: 2093

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Quinuclidine derivatives having the general formula (I) ##STR1## and geometrical isomers, enantiomers, diastereoisomers, racemates and/or acid addition salts thereof, wherein Z represents the group >CR.sup.1 R.sup.2 or two hydrogen atoms; and R.sup.1 and R.sup.2, which may be identical or different, are each alkyl, cyclopentyl, cyclohexyl, aryl, or diarylmethylol, or alkyl which is substituted by one or more aryl groups, or one of R.sup.1 and R.sup.2 may be hydrogen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L16 ANSWER 69 OF 69 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN

ACCESSION NUMBER: 1990:120974 BIOSIS

DOCUMENT NUMBER:

BR38:55184

TITLE:

EVIDENCE THAT AF-DX-116 A MEMORY FACILITATING MUSCARINIC-

M2 ANTAGONIST CROSSES THE BLOOD BRAIN

BARRIER.

AUTHOR (S):

REGENOLD W; PACKARD M G; QUIRION R

CORPORATE SOURCE:

DEP. PSYCHIATRY, MCGILL UNIV., DOUGLAS HOSP. RES. CENT.,

.6875 LA SALLE BLVD., VERDUN, P.Q., CANADA, H4H 1R3.

SOURCE:

19TH ANNUAL MEETING OF THE SOCIETY FOR NEUROSCIENCE,

PHOENIX, ARIZONA, USA, OCTOBER 29-NOVEMBER 3, 1989. SOC

NEUROSCI ABST, (1989) 15 (1), 860.

CODEN: ASNEE5.

DOCUMENT TYPE:

Conference

FILE SEGMENT:

BR; OLD

LANGUAGE:

English

=> d his

L11

(FILE 'HOME' ENTERED AT 10:48:48 ON 10 OCT 2003)

FILE 'REGISTRY' ENTERED AT 10:48:56 ON 10 OCT 2003

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 3 S L1 FULL SEL RN L3

FILE 'CAPLUS' ENTERED AT 10:52:38 ON 10 OCT 2003

L4 1 S E1-3

FILE 'MARPAT' ENTERED AT 10:52:54 ON 10 OCT 2003

L5 0 S L1

L6 1 S L1 FULL

FILE 'STNGUIDE' ENTERED AT 10:53:43 ON 10 OCT 2003

FILE 'MEDLINE, CAPLUS, BIOSIS, USPATFULL' ENTERED AT 10:58:43 ON 10 OCT 2003

L7 181692 S HISTAMINE

L8 620 S H3 ANTAGONIST?

L9 131962 S COGNITION DEFICIT OR ALZHEIMER

L10 24 S L8 AND L9

24 DUP REM L10 (0 DUPLICATES REMOVED)

L12 10065 S MUSCARINIC ANTAGONIST

L13 545 S L12 AND L9

L14 623 S M2 ANTAGONIST?

L15 85 S L14 AND L9

L16 69 DUP REM L15 (16 DUPLICATES REMOVED)

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=> s 114 and 111
            0 L14 AND L11
=> s H3/m2 antagonist
MISSING OPERATOR
=> s (h3 (W) m2) (W) antagonist?
            2 (H3 (W) M2) (W) ANTAGONIST?
=> d
    ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
L18
     2002:716084 CAPLUS
AN
     137:226627
DN
TΙ
    Use of dual H3/M2 antagonists in the
     treatment of cognition deficit disorders
    Hey, John A.; Aslanian, Robert G.
IN
PA
    Schering Corporation, USA
    PCT Int. Appl., 38 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
    English
FAN.CNT 1
                                          APPLICATION NO. DATE
    PATENT NO.
                     KIND DATE
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     ______
                                         WO 2002-US3975
PΙ
    WO 2002072093
                     A2
                           20020919
                                                           20020206
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            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         US 2002-72340 20020206
                           20021017
     US 2002151565
                     A1
PRAI US 2001-267352P
                           20010208
                      Ρ
=> d 2
    ANSWER 2 OF 2 USPATFULL on STN
L18
       2002:273437 USPATFULL
AN
      Use of dual H3/M2 antagonists in the
ΤI
       treatment of cognition deficit disorders
IN
      Hey, John A., Randolph, NJ, UNITED STATES
       Aslanian, Robert G., Rockaway, NJ, UNITED STATES
PA
       Schering Corporation (U.S. corporation)
PΙ
      US 2002151565
                              20021017
                         A1
ΑI
      US 2002-72340
                         A1
                              20020206 (10)
PRAI
      US 2001-267352P
                          20010208 (60)
DT
      Utility
FS
      APPLICATION
LN.CNT 1363
INCL
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NCL
      NCLM: 514/316.000
IC
       [7]
       ICM: A61K031-4545
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
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SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 50.81 319.03

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE -3.26 -3.26

FILE 'STNGUIDE' ENTERED AT 11:04:00 ON 10 OCT 2003
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Oct 3, 2003 (20031003/UP).

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---Logging off of STN---

Connection closed by remote host ${\tt END}$

Unable to generate the STN prompt. Exiting the script...